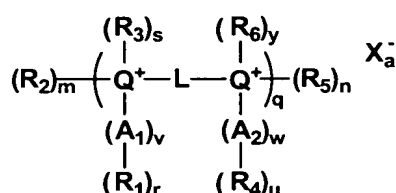# New Transfection Reagents

Abstract

Disclosed are compounds capable of facilitating transport of biologically active agents or substances into cells having the general structure:

$$(R_2)_m \left(Q^+ \begin{matrix} (R_3)_s \\ | \\ \\ | \\ (A_1)_v \\ | \\ (R_1)_r \end{matrix} - L - Q^+ \begin{matrix} (R_6)_y \\ | \\ \\ | \\ (A_2)_w \\ | \\ (R_4)_u \end{matrix} \right)_q (R_5)_n \quad X_a^-$$

wherein

Q is selected from the group consisting of N, O and S; L is any bivalent organic radical capable of linking each Q, such as C, CH, $(CH_2)_l$, or $\{(CH_2)_i\text{-}Y\text{-}(CH_2)_j\}_k$, wherein Y is selected from the group consisting of CH_2, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, a phosphate, a sulfate, a sulfoxide, an imine , a carbonyl, and a secondary amino group and wherein Y is optionally substituted by $-X_1-L'-X_2-Z$ or $-Z$; R_1 - R_6, independently of one another, are selected from the group consisting of H, $-(CH_2)_p-D-Z$, an alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and wherein at least one of R_1, R_3, R_4 and R_6 is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group; and any one of R_1, R_3, R_4 and/or R_6 may optionally be covalently linked with each other, with Y or with L when L is C or CH to form a cyclic moiety; Z is selected from the group consisting of amine, spermiyl, carboxyspermiyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, peptide, and protein; X_1 and X_2, independently of one another, are selected from the group consisting of NH, O, S, alkylene, and arylene; L' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, alkylene ether,

and polyether; D is Q or a bond; A_1 and A_2, independently of one another, are selected from the group consisting of CH_2O, CH_2S, CH_2NH, $C(O)$, $C(NH)$, $C(S)$ and $(CH_2)_t$; X is a physiologically acceptable anion; m, n, r, s, u, v, w and y are 0 or 1, with the proviso that when both m and n are 0 at least one of r, s, u and y is other than 0; i, j, k, l, p and t are integers from 0 to about 100; q is an integer from 1 to about 1000; and a is the number of positive charge divided by the valence of the anion.

A271-42.wpd